

**A METHOD FOR THE ENANTIOMERIC SEPARATION OF
OPTICAL ACTIVE AMLODIPINE**

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This application is a 371 of PCT/CN04/01412 filed 12/03/2004.

Technical Field

5 The present invention relates to a method for the chemical resolution of
racemic amlodipine.

Background Art

 Amlodipine is a calcium ion antagonist, which is used for clinically treating
10 hypertension and stable angina. Currently, amlodipine clinically used is
substantially the raceme thereof. It is reported that the main pharmacological active
ingredient in the raceme is (S)-(-)-amlodipine and the antagonistic activity thereof
against calcium ion is about 1000 times to that of (R)-(+)-amlodipine and double to
that of the raceme per se by Arrowsmith, J.E. et al., J. Med. Chem. (1986) 29;
15 1696-1702. WO93/10779 (Young,J.W.,) discloses that the administration of
(S)-(-)-amlodipine can reduce the side effects such as acroedema, headache,
dizziness etc. as compared with using racemic amlodipine. Accordingly, the
therapies of hypertension and stable angina by administrating (S)-(-)-amlodipine
have a good market prospect. The other enantiomer, (R)-(+)-amlodipine, has the
20 activity of treating atherosclerosis.

 The chemical structure formula of amlodipine is showed by

